

Application No.: 10/729,069

2

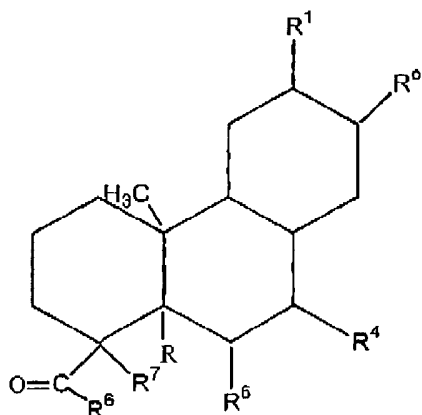
Docket No.: 532792000100

AMENDMENTS TO THE SPECIFICATION

Paragraphs 7 and 58 are amended as follows:

[0007] In one example of viral fusion, the entry of HIV into target cells is mediated by a fusion reaction in which the gp120/gp41 glycoprotein of the virus binds to CD4 and a CC chemokine receptor, CCR5 or CXCR4, on the target cell membrane. The HIV enveloped surface glycoproteins are synthesized as a single 160 [[Kd]] kD precursor protein which is cleaved by a cellular protease during viral budding into two glycoproteins, gp41 and gp120. gp41 is a transmembrane protein and gp120 is an extracellular protein which remains non-covalently associated with gp41, possibly in a trimeric or multimeric form. Hammariskjold, M. *et al.*, *Biochem. Biophys. Acta* 989:269-280 (1989). HIV is targeted to CD4+ cells because the CD4 cell surface protein acts as the cellular receptor for the HIV-I virus. *See, e.g.*, Dalglish, A. *et al.*, *Nature* 312:763-767 (1984); Klatzmann *et al.*, *Nature* 312:767-768 (1984). Viral entry into cells is dependent upon gp120 binding the cellular CD4+ receptor molecules. *See* (McDougal, J. S. *et al.*, *Science* 231:382-385 (1986); Maddon, P. J. *et al.*, *Cell* 47:333-348 (1986).

[0058] Exemplary compounds useful in the present invention include, but are not limited to the compounds of U.S. Patents 6,096,917; 6,100,426; 6,103,922; 6,100,923 6,103,923; 6,124,494; 6,127,422; 6,16,924 6,156,924; 6,175,034; 6,180,815; and 6,180,816. An exemplary compound is a compound of the formula:



sd-193774

Application No.: 10/729,069

3

Docket No.: 532792000100

wherein:

R is hydrogen or R and R⁶ combine to form a bond;

R⁰ and R¹ are independently hydrogen, hydroxy, C₁-C₆ alkyl, C₁-C₆ alkoxy, hydroxy (C₁-C₆ alkyl), sulfhydryl, sulfamyl, -SO₂-C₁, -S-C(O)-N(CH₃)₂, amino, C₁-C₄ alkylamino, di(C₁-C₄ alkyl)amino, C₁-C₄ alkylsulfonylamino, di(C₁-C₄ alkylsulfonyl)amino - X⁰-O-C(O)-C₁-C₄, alkyl, -O-(X¹)_i-X², -C(O)-X³, -N-C(O)-R² or -O-R³;

X⁰ is a bond or divalent(C₁-C₆) alkyl);

X¹ is an amino acid;

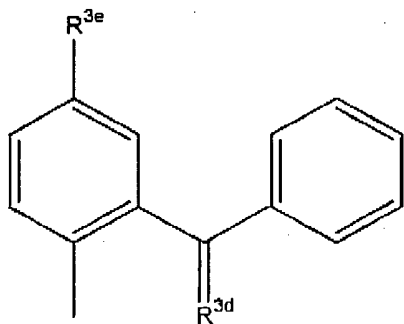
X² is hydrogen or an amino protecting group;

i is 1, 2, or 3;

X³ is C₁-C₆ alkyl, C₁-C₆ alkoxy, halo(C₁-C₆ alkyl), hydroxy(C₁-C₆ alkyl) or phenyl;

R² is C₁-C₄ alkyl, C₁-C₄ alkoxy, halo(C₁-C₄ alkyl), hydroxy(C₁-C₄ alkyl), phenyl, p-methoxy-phenyl, p-fluoro-phenyl, naphthyl, pyridyl, thiazolyl, oxazolyl, thienyl, furyl, tetrahydrofuryl or cyclohexyl;

R³ is C₁-C₆ alkenyl, -CH₂-R^{3a}, -C(O)-R^{3b}, -C(S)-R^{3c}, -C(CH₃)₂C(O)NH₂, phenyl or a group of the formula:



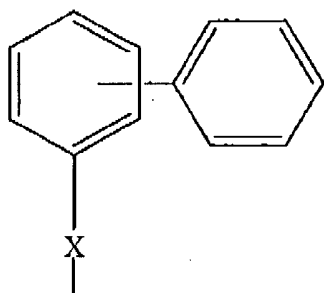
sd-193774

Application No.: 10/729,069

4

Docket No.: 532792000100

or



R^{3a} is phenyl, p-fluorophenyl, pyridyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, N-(C₁-C₄ alkoxy carbonyl) piperidinyl, N-(trifluoromethyl)- piperidinyl, thiazolyl, oxazolyl, imidazolyl, isothiazolyl, isooxazolyl, quinolyl, isoquinolyl, thienyl, furyl, tetrahydrothienyl, tetrahydrofuryl, cyclohexyl, cyclopentyl, cyclopropyl or naphthyl;

R^{3b} is pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, N-(C₁-C₄ alkoxy carbonyl) piperidinyl, N-(trifluoromethyl) piperidinyl, benzyloxy, pyridylmethoxy, C₁-C₆ alkoxy, halo(C₁-C₄ alkoxy), amino, C₁-C₄ alkylamino or di(C₁-C₄ alkyl) amino;

R^{3c} is amino, C₁-C₄ alkylamino or di(C₁-C₄ alkyl) amino;

R^{3d} is oxygen, hydroximino, hydrazino or =CHZ;

Z is hydrogen, C₁-C₄ alkyl, halogen, di(C₁-C₄ alkyl) amino, C₁-C₄ alkoxy carbonyl, carbonmoyl (C₁-C₄ alkyl), N-(C₁-C₄ alkyl) carbonmoyl or N,N-di(C₁-C₄ alkyl) carbamoyl;

R^{3e} is hydrogen, nitro or trifluoromethyl;

X is a bond or -(CH₂-;

R^4 is hydrogen, hydroxy, amino, C₁-C₄ alkylamino, di(C₁-C₄ alkyl) amino, C₁-C₄ alkoxy, =O, -O-S (CH₃)₂ C(CH₃)₃, C₂-C₆ alkanoyloxy, N-(C₂-C₆ alkanoyl) amino, =N-R⁵ or R⁴ and R⁶ combine to form a bond;

sd-193774

Application No.: 10/729,069

5

Docket No.: 532792000100

R^5 is hydroxy amino, C_1 - C_4 alkylamino, di (C_1 - C_4 alkyl) amino, C_1 - C_4 alkoxy, pyridylmethoxy, benzyloxy, piperazinyl, N-(methyl) piperazinyl or $-O-CH_2-C(O)-R^{5a}$,

R^{5a} is hydroxy or C_1 - C_4 alkoxy;

R^6 is hydrogen, halo, C_1 - C_4 alkyl or $=O$;

R^7 is hydrogen or C_1 - C_4 alkyl;

R^8 is hydroxy, halo, C_1 - C_6 alkoxy, pyrrolidinyl, piperidinyl, piperazinyl, 4-methylpiperazinyl, morpholinyl or $-N(R^9) - R^{10}$;

R^9 is hydrogen or methyl;

R^{10} is $-(divalent\ C_1-C_6\ alkyl)-R^{10a}$;

R^{10a} is pyridyl,

with the proviso that R^6 cannot combine with both R^4 and R to form a bond;

or a pharmaceutically acceptable salt thereof.

sd-193774